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PROPARGYL GLYCINE AMINO PROPARGYL DIOL COMPOUNDS FOR TREATMENT OF HYPERTENSION

<u>ABSTRACT</u>

Compounds characterized generally as propargyl glycine amino propargyl diol derivatives are useful as renin inhibitors for the treatment of hypertension.

Compounds of particular interest are those of Formula I

$$R_{1} \xrightarrow{R_{11}} R_{12} \xrightarrow{R_{12}} A \xrightarrow{R_{13}} R_{4} \xrightarrow{R_{4}} R_{5} \xrightarrow{R_{6}} OH \xrightarrow{OH} R_{8}$$
 (1)

wherein A is selected from CO and SO2 wherein X is selected from oxygen atom and methylene; wherein each of R1 and R9 is a group independently selected from hydrido, methyl, ethyl, n-propyl, isopropyl, benzyl, b, b, b-trifluoroethyl, t-butyloxycarbonyl and methoxymethylcarbonyl, and wherein the nitrogen atom to which R1 and R9 are attached may be combined with oxygen to form an N-oxide; wherein R2 is selected from hydrido, methyl, ethyl and isopropyl; wherein R3 is selected from benzyl, cyclohexylmethyl, phenethyl, imidazolemethyl, pyridylmethyl and 2-pyridylethyl; wherein each of R5 and Rg is independently propargyl or a propargyl-containing moiety; wherein R7 is cyclohexylmethyl; wherein each of R4 and R6 is independently selected from hydrido and methyl; wherein each of R11 and R12 is independently selected from hydrido, alkyl and phenyl; wherein m is zero; and wherein n is a number selected from zero through three; or a pharmaceutically-acceptable salt thereof.